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| L3 | 0 | S | L2 AND ?ISOSTER? |
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| L5 | 145 | S | ?ESTROGEN? AND BENZOPYRA? |
| L6 | 0 | S | L5 AND ?ISOSTER? |
| L7 | 51 | S | L5 AND P/DT |
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| L9 | 31 | S | L7 AND PY<2001 |
| L10 | 20 | S | L9 AND US/PC |
| L11 | 0 | S | L5 AND (BENZOYPRAN?(5W)ON?) |
| L12 | 26 | S | L5 AND BENZOPYRANO? |
| L13 | 10 | S | L12 AND P/DT |
| L14 | 7 | S | L13 AND US/PC |

L14 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:392330 CAPLUS
DN 140:391197
TI Preparation of **benzopyranone** compounds for modulating **estrogen** receptor expression
IN Renaud, Johanne; Missbach, Martin; McKie, Jeffrey A.; Bhagwat, Shripad S.
PA Switz.
SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 125,965.
CODEN: USXXCO
DT **Patent**
LA English
FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 6620838 | B1 | 20030916 | US 2002-125965 | 20020419 <-- |
| CA 2482986 | AA | 20031030 | CA 2003-2482986 | 20030418 |
| WO 2003089422 | A1 | 20031030 | WO 2003-US12283 | 20030418 |
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| EP 1497277 | A1 | 20050119 | EP 2003-733871 | 20030418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

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WO 2003-US12283 W 20030418
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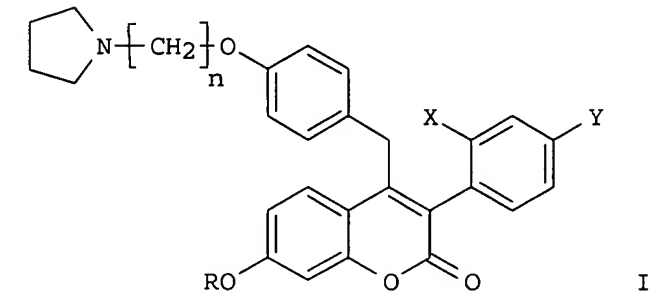


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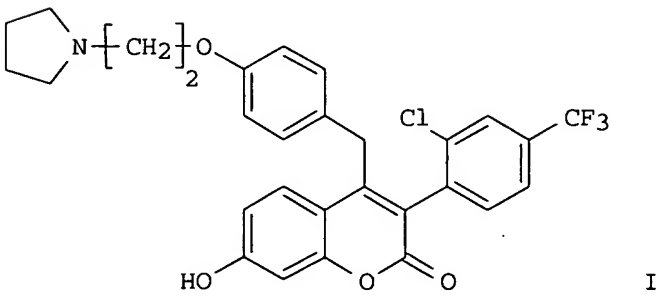


II

AB **Benzopyranone** compds. of formula I [R = H, acyl, etc.; X = H, halo, CF3; Y = halo, CF3; n = 2-4] are prepared for modulating gene expression in a cell expressing **estrogen** receptor (ER). The compds. of formula I wherein R is H can be prepared by demethylation of the corresponding phenolic Me ether. The compds. are useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Thus, II was prepared from (2-chloro-4-trifluoromethylphenyl)acetic acid, 1-(2-hydroxy-4-methoxyphenyl)-2-(4-hydroxyphenyl)ethan-1-one and 1-(2-chloroethyl)pyrrolidine hydrochloride. The IC50 of II against MCF-7 breast cancer cell was 4.5 nM.

L14 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:855919 CAPLUS
DN 139:350634
TI Preparation of **benzopyranone** compounds as inhibitors of interleukin 6 release, antitumor agents, etc.
IN McKie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PA Signal Pharmaceuticals, Inc., USA; Novartis A.-G.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 2003089422 | A1 | 20031030 | WO 2003-US12283 | 20030418 |
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| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
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| | US 2004092572 | A1 | 20040513 | US 2003-412997 | 20030414 <-- |
| | CA 2482986 | AA | 20031030 | CA 2003-2482986 | 20030418 |
| | EP 1497277 | A1 | 20050119 | EP 2003-733871 | 20030418 |
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| PRAI | US 2002-125965 | A | 20020419 | | |
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OS MARPAT 139:350634
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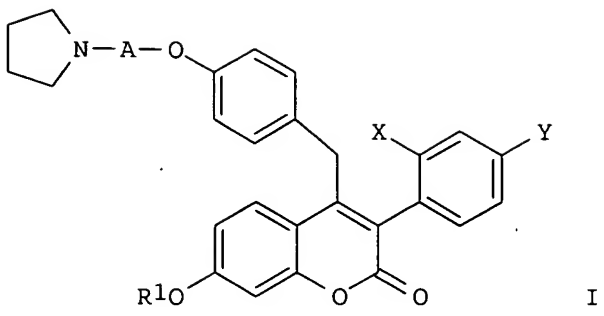


I

AB The title compds. I [A = (CH2)n; n = 2 to 4; R1 = H, COR2, etc.; R2 = alkyl, etc.; X = H, halo, etc.; Y = halo, etc.] are prepared I are useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis, endometriosis, cardiovascular disease, hypercholesterolemia, prostatic hypertrophy, prostatic carcinomas, obesity, hot flashes, skin effects, mood swings, memory loss, and adverse reproductive effects associated with exposure to environmental chems. or natural hormonal imbalances. Compds. of this invention inhibit both MCF-7 breast cancer and BG-1 ovarian carcinoma cell proliferation; they showed IC50 values of 1.4 nM to 13.6 nM against BG-1 ovarian carcinoma cells and IC50 values of 3 nM to 13.6 nM against MCF-7 breast cancer cells.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:730534 CAPLUS
DN 139:261167
TI Preparation of **benzopyranones** for inhibiting interleukin-6
IN Mckie, Jeffrey A.; Bhagwat, Shripad S.; Renaud, Johanne; Missbach, Martin
PA Signal Pharmaceuticals, Inc., USA
SO U.S., 21 pp.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | US 6620838 | B1 | 20030916 | US 2002-125965 | 20020419 <-- |
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| | EP 1497277 | A1 | 20050119 | EP 2003-733871 | 20030418 |
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OS MARPAT 139:261167

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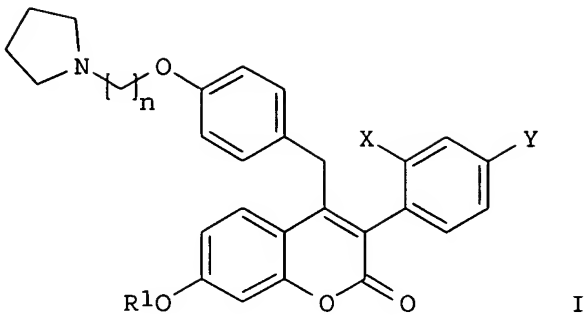

I

AB The title **benzopyranones** [I; n = 2-4; R1 = H, COR2, CO2R2, etc.; R2 = alkyl, aryl, arylalkyl, etc.; X = H, halo, CF3; Y = halo, CF3], useful for treating a bone-resorbing disease, cancer, arthritis or an **estrogen**-related condition such as breast cancer, osteoporosis and endometriosis, were prepared E.g., a 4-step synthesis of I [n = 2; R1 = H; X = Cl; Y = CF3] (starting from tert-Bu acetate and 3-chloro-4-iodobenzotrifluoride) which showed IC50 of 0.4 nM against IL-6, was given. The compds. I, wherein R1 = H, can be prepared by demethylation of the corresponding phenolic Me ether. Pharmaceutical composition comprising the compound I was claimed.

RE.CNT 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:809720 CAPLUS
DN 128:61504
TI Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods of their use
IN Jones, Todd K.; Zhi, Lin; Edwards, James P.; Tegley, Christopher M.; West, Sarah J.
PA Ligand Pharmaceuticals Inc., USA
SO U.S., 129 pp., Cont.-in-part of U.S. Ser. No. 363,127, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
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| | AU 717251 | B2 | 20000323 | | |
| | EP 800519 | A1 | 19971015 | EP 1995-944089 | 19951213 |
| | EP 800519 | B1 | 20031022 | | |
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| | CN 1175247 | A | 19980304 | CN 1995-197702 | 19951213 |
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     EP 1043325            B1    20040616
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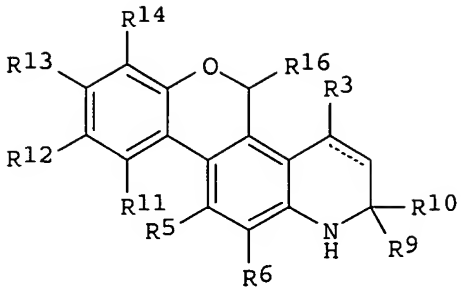

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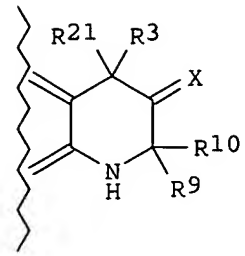

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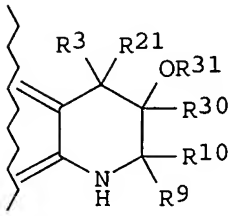

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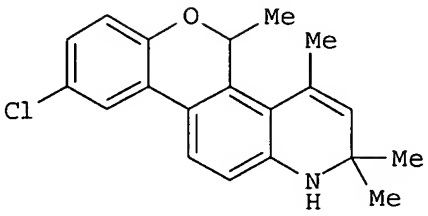

IV

AB Non-steroidal title compds. I-III and analogs (3 addnl. claimed Markush structures) are disclosed [wherein R3 = H, C1-4 alkyl or perfluoroalkyl, CH2OH, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R5-R6 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, S(O)(NR2R7)R2, NR2R7, aryl, heteroaryl, etc.; wherein R2 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R7 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, NHR8, or OR8; R8 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl or arylmethyl, SO2R2, SOR2; R9, R10 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; or R9 and R10 form a 3- to 7-membered ring optionally substituted with F, OR2, or NR2R7; R11-R14 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, SO(NR2R7)R2, NR2R7, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; X = CH2, O, S, NR7; R16 = H, OH, OR17, SR17, NR2R7, (un)substituted allyl, etc., or alkyl; R17 = alkyl, etc.; R21, R30, R31 = H, C1-4 alkyl, etc.]. The compds. are high-affinity, high-selectivity modulators of steroid receptors, and in particular are agonists or antagonists of progesterone receptors, or antagonists of glucocorticoid receptors. Also disclosed are pharmaceutical compns. incorporating the compds., which are effective in female hormone replacement, modulating human fertility, or treating dysfunctional uterine bleeding, endometriosis, leiomyomas, osteoporosis, cancer of the breast or ovaries, or endometrial cancer; methods for employing the disclosed compds. and compns. for treating patients requiring progesterone receptor agonist or antagonist therapy; intermediates useful in the preparation of the compds., and processes for their preparation As glucocorticoid antagonists, some compds. are useful for modulating carbohydrate, protein, and lipid metabolism, as well as functioning of the cardiovascular, kidney, central nervous, immune, and musculo-skeletal systems. Over 350 synthetic examples are given. For instance, title compound IV was prepared in 20% yield from a corresponding coumarinoquinoline derivative by reaction of the coumarin lactone function with MeLi, and reduction of the resulting hemiacetal intermediate with Et3SiH · and either BF3.OEt2 or CF3CO2H. Selected compds. were tested in vitro and/or in vivo for activity at progesterone, androgen, **estrogen**,

glucocorticoid and mineralocorticoid receptors. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, IV had an efficacy (maximum response) of 138% vs. progesterone, with comparable potency. Five pharmaceutical formulations are described.

L14 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:772298 CAPLUS
DN 128:61502
TI Preparation of chromenoquinoline derivatives and analogs as steroid receptor modulator compounds and methods
IN Jones, Todd K.; Tegley, Christopher M.; Zhi, Lin; Edwards, James P.; West, Sarah J.
PA Ligand Pharmaceuticals Inc., USA
SO U.S., 128 pp., Cont.-in-part of U.S. Ser. No. 363,529, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 12

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | RU 2191774 | C2 | 20021027 | RU 1997-112141 | 19951213 |
| | AT 252560 | E | 20031115 | AT 1995-944089 | 19951213 |
| | EP 1382597 | A2 | 20040121 | EP 2003-23907 | 19951213 |
| | EP 1382597 | A3 | 20040407 | | |
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| | PT 800519 | T | 20040331 | PT 1995-944089 | 19951213 |
| | ES 2208699 | T3 | 20040616 | ES 1995-944089 | 19951213 |
| | AT 269336 | E | 20040715 | AT 2000-113829 | 19951213 |
| | NO 9702591 | A | 19970814 | NO 1997-2591 | 19970606 |
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| | US 5994544 | A | 19991130 | US 1997-947413 | 19971008 <-- |
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| | NO 2000003551 | A | 19970814 | NO 2000-3551 | 20000710 |
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| | NO 313049 | B1 | 20020814 | | |
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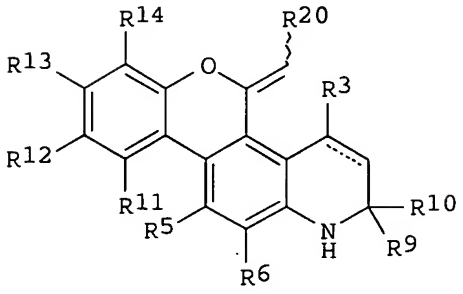
| | US 1995-463231 | A | 19950605 | | |
| | US 1995-464360 | A | 19950605 | | |
| | US 1995-464514 | A | 19950605 | | |
| | US 1995-464541 | A | 19950605 | | |
| | US 1995-464546 | A | 19950605 | | |
| | US 1995-465429 | A | 19950605 | | |
| | US 1995-465556 | A | 19950605 | | |
| | AU 1996-45977 | A3 | 19951213 | | |
| | EP 1995-944089 | A3 | 19951213 | | |
| | WO 1995-US16096 | W | 19951213 | | |

OS MARPAT 128:61502

GI



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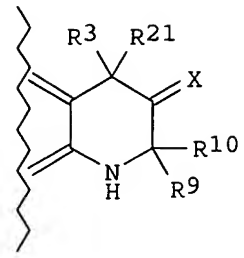

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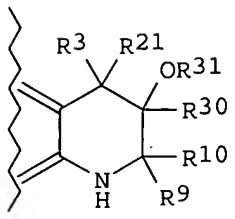

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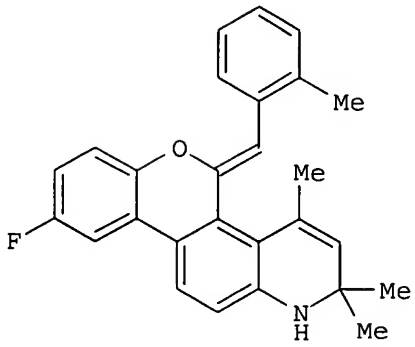

IV

AB Non-steroidal title compds. I-III and analogs are disclosed [wherein R3 = H, C1-4 alkyl or perfluoroalkyl, CH2OH, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R5-R6 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, S(O)(NR2R7)R2, NR2R7, aryl, heteroaryl, etc.; wherein R2 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; R7 = H, C1-4 alkyl or perfluoroalkyl, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, NHR8, or OR8; R8 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl or arylmethyl, SO2R2, SOR2; R9, R10 = H, C1-6 alkyl or perfluoroalkyl, aryl, heteroaryl, (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; or R9 and R10 form a 3- to 7-membered ring optionally substituted with F, OR2,

or NR2R7; R11-R14 = H, F, Cl, Br, iodo, NO2, CO2H, CO2R2, COR2, cyano, CF3, CH2OH, C1-4 alkyl or perfluoroalkyl, OR2, SR2, SOR2, SO2R2, SO3H, S(NR2R7)R2, SO(NR2R7)R2, NR2R7, aryl, heteroaryl, or (un)substituted allyl, arylmethyl, alkynyl, or alkenyl; X = CH2, O, S, NR7; R20 = C1-6 alkyl, (un)substituted allyl, arylmethyl, alkenyl, aryl, or heteroaryl; R21 = H, C1-4 alkyl, (un)substituted allyl, arylmethyl, aryl, or heteroaryl; R30, R31 = H, C1-6 alkyl, etc.]. The compds. are high-affinity, high-selectivity modulators of steroid receptors, and in particular are agonists or antagonists of progesterone receptors. Also disclosed are pharmaceutical compns. incorporating the compds., which are effective in female hormone replacement, modulating human fertility, or treating dysfunctional uterine bleeding, endometriosis, leiomyomas, osteoporosis, cancer of the breast or ovaries, or endometrial cancer; methods for employing the disclosed compds. and compns. for treating patients requiring progesterone receptor agonist or antagonist therapy, and intermediates and processes useful in the preparation of the compds. Over 350 synthetic examples are given. For instance, title compound IV was prepared in 70% yield by Grignard reaction of 2-MeC6H4CH2MgCl with the corresponding coumarinoquinoline in Et2O, followed by acid-catalyzed dehydration of the product lactol using p-MeC6H4SO3H in CH2Cl2. Selected compds. were tested in vitro and in vivo for activity at progesterone, androgen, **estrogen**, glucocorticoid, and mineralocorticoid receptors. In a test for agonist activity at progesterone receptors expressed in CV-1 cells, IV had an efficacy (maximum response) of 231% vs. progesterone, and an equivalent potency (EC50) of 4 nM. Five pharmaceutical formulations are described.

L14 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:708473 CAPLUS
DN 123:83209
TI Anti-**estrogenic** compounds and compositions
IN Labrie, Fernand; Merand, Yves
PA Endorecherche Inc., Can.
SO U.S., 72 pp. Cont.-in-part of U.S. Ser. No. 265,150, abandoned.
CODEN: USXXAM
DT **Patent**
LA English
FAN.CNT 8

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| PI | US 5395842 | A | 19950307 | US 1991-801704 | 19911202 <-- |
| | HU 52114 | A2 | 19900628 | HU 1989-5469 | 19891027 |
| | HU 208150 | B | 19930830 | | |
| | JP 2000256390 | A2 | 20000919 | JP 2000-62592 | 19891031 |
| | US 5393785 | A | 19950228 | US 1992-913746 | 19920714 <-- |
| | WO 9310741 | A2 | 19930610 | WO 1992-CA518 | 19921201 |
| | WO 9310741 | A3 | 19940203 | | |
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| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| | AU 9229393 | A1 | 19930628 | AU 1992-29393 | 19921201 |
| | AU 681338 | B2 | 19970828 | | |
| | ZA 9209309 | A | 19940601 | ZA 1992-9309 | 19921201 |
| | EP 615448 | A1 | 19940921 | EP 1992-923641 | 19921201 |
| | EP 615448 | B1 | 20020502 | | |
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| | IL 103941 | A1 | 20000726 | IL 1992-103941 | 19921201 |
| | JP 2002060384 | A2 | 20020226 | JP 2001-207820 | 19921201 |
| | AT 216880 | E | 20020515 | AT 1992-923641 | 19921201 |
| | ES 2176190 | T3 | 20021201 | ES 1992-923641 | 19921201 |
| | US 5631249 | A | 19970520 | US 1993-17045 | 19930212 <-- |

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| | NO 9402027 | A | 19940704 | NO 1994-2027 | 19940601 |
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| | FI 9402568 | A | 19940727 | FI 1994-2568 | 19940601 |
| | US 5840735 | A | 19981124 | US 1994-285354 | 19940803 <-- |
| | US 6060503 | A | 20000509 | US 1995-388207 | 19950221 <-- |
| | US 5686437 | A | 19971111 | US 1995-475710 | 19950607 <-- |
| | US 5686465 | A | 19971111 | US 1995-485739 | 19950607 <-- |
| | AU 9746772 | A1 | 19980219 | AU 1997-46772 | 19971128 |
| | JP 10273479 | A2 | 19981013 | JP 1998-10654 | 19980122 |
| | JP 3273010 | B2 | 20020408 | | |
| | AU 760232 | B2 | 20030508 | AU 2000-20637 | 20000303 |
| | AU 762751 | B2 | 20030703 | AU 2000-34056 | 20000512 |
| | AU 2000034056 | A5 | 20000720 | | |
| PRAI | US 1988-265150 | B2 | 19881031 | | |
| | US 1989-377010 | B2 | 19890707 | | |
| | US 1988-265716 | A | 19881101 | | |
| | US 1989-322154 | A | 19890310 | | |
| | JP 1989-286010 | A3 | 19891031 | | |
| | US 1991-801704 | A | 19911202 | | |
| | US 1992-917915 | A3 | 19920719 | | |
| | JP 1993-509666 | A3 | 19921201 | | |
| | JP 1998-10654 | A3 | 19921201 | | |
| | WO 1992-CA518 | A | 19921201 | | |
| | US 1993-17045 | A3 | 19930212 | | |
| | US 1994-285354 | A2 | 19940803 | | |
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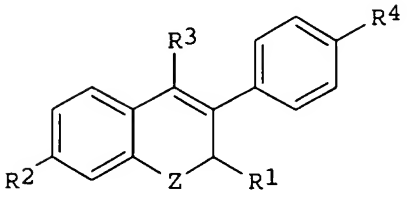
OS MARPAT 123:83209

GI




I

AB Title compds. I [Z = alkylene, haloalkylene, oxaalkylene, thiaalkylene, azaalkylene; R1 = substituted phenylene; R2, R4 = H, OH, protected OH; R3 = H, aliphatic] and their 3,4-dihydro derivs. and pharmaceutical compns. containing them were prepared Such pharmaceutical compns. are useful for the treatment of breast cancer or other diseases whose progress is aided by activation of sex steroid receptors. Thus, I [Z = O, R1 = 4-(2-piperidinoethoxy)phenyl, R2, R4 = OH, R3 = Me, II] was prepared from 2,4-(MeO)2C6H3COCl in 9 steps. II had an ED50 for inhibition of ZR-75-1 cells of 2.55X10-10 M.

L14 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1994:77518 CAPLUS
DN 120:77518
TI Sex steroid activity inhibitors
IN Labrie, Fernand; Merand, Yves
PA Endorecherche Inc., Can.
SO PCT Int. Appl., 227 pp.
CODEN: PIXXD2
DT **Patent**
LA English
FAN.CNT 8

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | WO 9310741 | A3 | 19940203 | | |
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| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| | US 5395842 | A | 19950307 | US 1991-801704 | 19911202 <-- |
| | AU 9229393 | A1 | 19930628 | AU 1992-29393 | 19921201 |
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| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| | RU 2142945 | C1 | 19991220 | RU 1994-31127 | 19921201 |
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| | US 1988-265150 | B2 | 19881031 | | |
| | US 1989-377010 | B2 | 19890707 | | |
| | WO 1992-CA518 | A | 19921201 | | |
| | AU 1996-46606 | A3 | 19960220 | | |
| | AU 1997-46772 | A3 | 19971128 | | |
| OS | MARPAT 120:77518 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Various steroidal and nonsteroidal (diphenylethylene-based) **antiestrogens** were prepared and/or tested. Pharmaceutical compns. containing various groups and representatives of nonsteroidal compds. are claimed. Included in the disclosure are compds. I [x = 0-6; L and/or G is a polar moiety separated from the B ring by ≥3 intervening atoms; R1, R2 = bond, alkylene, alkenylene, alkynylene, C6H4, or fluoro analogs of these; B = bond, O, S, Se, SO, SO2, NH, CH(OH), NHCO, OCO, CO2, C6H4, etc.; LG may form N-containing heterocyclic ring; or L = various bivalent groups, mostly CO- or C(S)-based; or G = H, alkenyl, alkynyl, (un)substituted alkyl; Z = alkylene, haloalkylene, (CH2)nO, (CH2)nS, (CH2)nCO, etc.; n = 0-3; R3, R10 = H, OH, halo, alkyl, alkoxy, etc.; R6 = H, alkyl, alkenyl, alkynyl]. For example, compound II was prepared and was 3-fold more active against ZR-75-1 breast cancer cells than its known analog lacking the B-ring Me group. Estradiol derivative III was also prepared and found to act as an **antiestrogen** and an inhibitor of 17β-hydroxy steroid dehydrogenase.